

## WHAT IS CLAIMED IS:

1                    1.        A method for preparing LXR ligands on a solid support, said  
2 method comprising:

3                    (a) attaching an aniline derivative to said solid support to provide a  
4 support-bound aniline derivative;

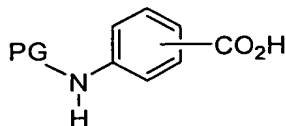
5                    (b) contacting said support-bound aniline derivative with an aldehyde or  
6 ketone under reductively aminating conditions to provide a support-bound substituted  
7 aniline derivative; and

8                    (c) contacting said support-bound substituted aniline derivative with an  
9 acylating agent to provide an LXR ligand on said solid support.

1                    2.        A method in accordance with claim 1, further comprising:

2                    (d) removing said LXR ligand from said solid support.

1                    3.        A method in accordance with claim 1, wherein said aniline  
2 derivative has the formula:



3                    wherein PG is a protecting group, and said method further comprises a step between steps  
4 (a) and (b) of removing said protecting group.

1                    4.        A method in accordance with claim 1, wherein said aldehyde or  
2 ketone of step (b) is selected from the group consisting of an optionally substituted (C<sub>1</sub>-  
3 C<sub>8</sub>)alkyl aldehyde and an optionally substituted dialkylketone.

1                    5.        A method in accordance with claim 1, wherein said aldehyde or  
2 ketone of step (b) is selected from the group consisting of optionally substituted aryl  
3 aldehyde and a ketone having the formula R<sup>3</sup>-C(O)-R<sup>4</sup>

4                    wherein R<sup>3</sup> and R<sup>4</sup> are members each independently selected from the  
5 group consisting of optionally substituted aryl, optionally substituted heteroaryl,  
6 optionally substituted arylalkyl, optionally substituted heteroarylalkyl and optionally  
7 substituted alkyl.

6. A method in accordance with claim 1, wherein said acylating agent has the formula:



wherein

$R^1$  is a member selected from the group consisting of optionally substituted ( $C_8-C_{18}$ )bicycloalkyl, optionally substituted ( $C_8-C_{18}$ )tricycloalkyl, optionally substituted ( $C_8-C_{18}$ )heterobicycloalkyl and optionally substituted ( $C_8-C_{18}$ )heterotricycloalkyl; and

$Y$  is a member selected from the group consisting of a carboxylic acid, a carboxylate ester, a carboxylic acid chloride and other activated forms of carboxylic acids.

7. A method in accordance with claim 1, wherein said solid support is selected from the group consisting of 4-(bromomethyl)phenoxymethyl polystyrene, Merrifield resin, Rink amide resin and Sieber resin.

8. A method in accordance with claim 4, wherein said acylating agent has the formula:

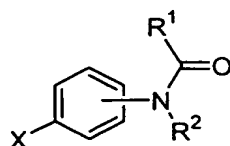


wherein

$R^1$  is a member selected from the group consisting of optionally substituted ( $C_8-C_{18}$ )bicycloalkyl, optionally substituted ( $C_8-C_{18}$ )tricycloalkyl, optionally substituted ( $C_8-C_{18}$ )heterobicycloalkyl and optionally substituted ( $C_8-C_{18}$ )heterotricycloalkyl; and

$Y$  is a member selected from the group consisting of a carboxylic acid, a carboxylate ester, a carboxylic acid chloride and other activated forms of carboxylic acids.

9. A method in accordance with claim 2, wherein said LXR ligands have the formula:



4 wherein

5  $R^1$  is a member selected from the group consisting of optionally substituted ( $C_8$ -  
6  $C_{18}$ )bicycloalkyl, optionally substituted ( $C_8$ - $C_{18}$ )tricycloalkyl, optionally  
7 substituted ( $C_8$ - $C_{18}$ )heterobicycloalkyl and optionally substituted ( $C_8$ -  
8  $C_{18}$ )heterotricycloalkyl;

9  $R^2$  is a member selected from the group consisting of optionally substituted ( $C_1$ -  
10  $C_8$ )alkyl, optionally substituted aryl, optionally substituted heteroaryl,  
11 optionally substituted arylalkyl and optionally substituted heteroarylalkyl;  
12 and

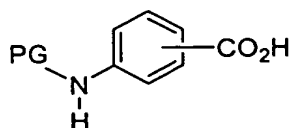
13 X is a member selected from the group consisting of  $-CO_2R^{11}$ ,  $-CH_2OR^{11}$ ,  
14  $-C(O)R^{11}$ ,  $-C(O)NR^{11}R^{12}$  and  $-CH_2NR^{11}R^{12}$ , wherein  $R^{11}$  and  $R^{12}$  are each  
15 members independently selected from the group consisting of hydrogen  
16 and optionally substituted ( $C_1$ - $C_8$ )alkyl.

1 10. A method in accordance with claim 9, wherein

2  $R^1$  is a member selected from the group consisting of optionally  
3 substituted optionally substituted tricyclo[3.3.1.1<sup>3,7</sup>]decanyl, optionally substituted  
4 bicyclo[3.2.1]octanyl, optionally substituted bicyclo[5.2.0]nonanyl,  
5 bicyclo[4.3.2]undecanyl, optionally substituted tricyclo[2.2.1.0<sup>1</sup>]heptanyl,  
6 tricyclo[5.3.1.1<sup>1</sup>]dodecanyl, optionally substituted tricyclo[5.4.0.0<sup>2,9</sup>]undecanyl,  
7 optionally substituted tricyclo[5.3.2.0<sup>4,9</sup>]dodecanyl, optionally substituted  
8 tricyclo[4.4.1.1<sup>1,5</sup>]dodecanyl and optionally substituted tricyclo[5.5.1.0<sup>3,11</sup>]tridecanyl  
9 group.

1 11. A method in accordance with claim 9, wherein  $R^1$  is a substituted  
2 or unsubstituted adamantyl group.

1 12. A method in accordance with claim 1, wherein said solid support is  
2 selected from the group consisting of a 4-(bromomethyl)phenoxymethyl polystyrene and  
3 Merrifield resin; said aniline derivative has the formula:



wherein PG is a protecting group, and said method further comprises a step between steps (a) and (b) of removing said protecting group; said aldehyde or ketone of step (b) is selected from the group consisting of a optionally substituted (C<sub>1</sub>-C<sub>5</sub>)alkyl aldehyde or ketone; and said acylating agent of step (c) has the formula:



wherein

R<sup>1</sup> is a member selected from the group consisting of optionally substituted(C<sub>8</sub>-C<sub>18</sub>)bicycloalkyl, optionally substituted(C<sub>8</sub>-C<sub>18</sub>)tricycloalkyl, optionally substituted(C<sub>8</sub>-C<sub>18</sub>)heterobicycloalkyl and optionally substituted(C<sub>8</sub>-C<sub>18</sub>)heterotricycloalkyl; and

Y is a member selected from the group consisting of a carboxylic acid, a carboxylate ester, a carboxylic acid chloride and other activated forms of carboxylic acids.

13. A method for preparing LXR ligands on a solid support, said method comprising:

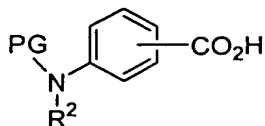
(a) attaching a substituted aniline derivative to said solid support to provide a support-bound substituted aniline derivative; and

(b) contacting said support-bound substituted aniline derivative with an acylating agent to provide an LXR ligand on a solid support.

14. A method in accordance with claim 13, further comprising:

(c) removing said LXR ligand from said solid support.

15. A method in accordance with claim 13, wherein said substituted aniline derivative has the formula:



wherein

PG is a protecting group;

R<sup>2</sup> is a member selected from the group consisting of optionally substituted(C<sub>1</sub>-C<sub>8</sub>)alkyl, optionally substituted aryl and optionally substituted heteroaryl; and

9            said method further comprises a step between steps (a) and (b) of removing said  
10            protecting group.

1                    16.      A method in accordance with claim 13, wherein said acylating  
2      agent has the formula:



4 wherein

5 R<sup>1</sup> is a member selected from the group consisting of optionally substituted(C<sub>8</sub>-  
6 C<sub>18</sub>)bicycloalkyl, optionally substituted(C<sub>8</sub>-C<sub>18</sub>)tricycloalkyl, optionally  
7 substituted(C<sub>8</sub>-C<sub>18</sub>)heterobicycloalkyl and optionally substituted(C<sub>8</sub>-  
8 C<sub>18</sub>)heterotricycloalkyl; and

9            Y is a member selected from the group consisting of carboxylic acid, carboxylate  
10            ester, carboxylic acid chloride and activated forms of carboxylic acids.

1                   17.     A method in accordance with claim 13, wherein said solid support  
2     is selected from the group consisting of a 4-(bromomethyl)phenoxyethyl polystyrene,  
3     Merrifield resin, Rink amide resin and Sieber resin.

1                    18.      A method in accordance with claim 15, wherein said acylating  
2      agent has the formula:

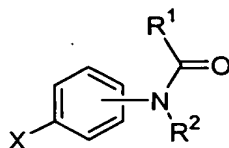


4 wherein

5 R<sup>1</sup> is a member selected from the group consisting of optionally substituted (C<sub>8</sub>-  
6 C<sub>18</sub>)bicycloalkyl, optionally substituted (C<sub>8</sub>-C<sub>18</sub>)tricycloalkyl, optionally  
7 substituted (C<sub>8</sub>-C<sub>18</sub>)heterobicycloalkyl and optionally substituted (C<sub>8</sub>-  
8 C<sub>18</sub>)heterotricycloalkyl; and

9 Y is a member selected from the group consisting of a carboxylic acid, a  
10 carboxylate ester, a carboxylic acid chloride and other activated forms of  
11 carboxylic acids.

1                   19.       A method in accordance with claim 14, wherein said LXR ligands  
2       have the formula:



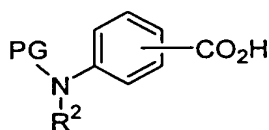
wherein

$R^1$  is a member selected from the group consisting of optionally substituted ( $C_8$ - $C_{18}$ )bicycloalkyl, optionally substituted ( $C_8$ - $C_{18}$ )tricycloalkyl, optionally substituted ( $C_8$ - $C_{18}$ )heterobicycloalkyl and optionally substituted ( $C_8$ - $C_{18}$ )heterotricycloalkyl;

$R^2$  is a member selected from the group consisting of optionally substituted ( $C_1$ - $C_8$ )alkyl, optionally substituted aryl and optionally substituted heteroaryl; and

X is a member selected from the group consisting of  $-CO_2R^{11}$ ,  $-CH_2OR^{11}$ ,  $-C(O)R^{11}$ ,  $-C(O)NR^{11}R^{12}$  and  $-CH_2NR^{11}R^{12}$ , wherein  $R^{11}$  and  $R^{12}$  are each members independently selected from the group consisting of hydrogen and optionally substituted ( $C_1$ - $C_8$ )alkyl.

20. A method in accordance with claim 13, wherein said substituted aniline derivative has the formula:



wherein

PG is a protecting group;

$R^2$  is a member selected from the group consisting of optionally substituted ( $C_1$ - $C_8$ )alkyl, optionally substituted aryl and optionally substituted heteroaryl; and

said method further comprises a step between step (a) and (b) of removing said protecting group; and said acylating agent has the formula:



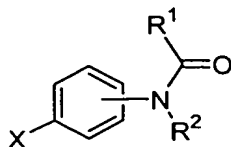
wherein

$R^1$  is a member selected from the group consisting of optionally substituted ( $C_8$ - $C_{18}$ )bicycloalkyl, optionally substituted ( $C_8$ - $C_{18}$ )tricycloalkyl, optionally

substituted (C<sub>8</sub>-C<sub>18</sub>)heterobicycloalkyl and optionally substituted (C<sub>8</sub>-C<sub>18</sub>)heterotricycloalkyl; and

Y is a member selected from the group consisting of carboxylic acid, carboxylate ester, carboxylic acid chloride and activated forms of carboxylic acids.

21. A combinatorial library comprising compounds of the formula



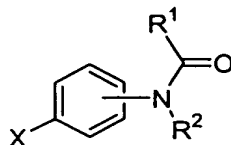
wherein

R<sup>1</sup> is a member selected from the group consisting of optionally substituted (C<sub>8</sub>-C<sub>18</sub>)bicycloalkyl, optionally substituted (C<sub>8</sub>-C<sub>18</sub>)tricycloalkyl, optionally substituted (C<sub>8</sub>-C<sub>18</sub>)heterobicycloalkyl and optionally substituted (C<sub>8</sub>-C<sub>18</sub>)heterotricycloalkyl;

R<sup>2</sup> is a member selected from the group consisting of optionally substituted (C<sub>1</sub>-C<sub>8</sub>)alkyl, optionally substituted aryl and optionally substituted heteroaryl;  
and

X is a member selected from the group consisting of -CO<sub>2</sub>R<sup>11</sup>, -CH<sub>2</sub>OR<sup>11</sup>, -C(O)R<sup>11</sup>, -C(O)NR<sup>11</sup>R<sup>12</sup> and -CH<sub>2</sub>NR<sup>11</sup>R<sup>12</sup>, wherein R<sup>11</sup> and R<sup>12</sup> are each members independently selected from the group consisting of a solid support, hydrogen and optionally substituted (C<sub>1</sub>-C<sub>8</sub>)alkyl.

22. A method for synthesizing a combinatorial library comprising compounds of the formula:



wherein

R<sup>1</sup> is a member selected from the group consisting of optionally substituted (C<sub>8</sub>-C<sub>18</sub>)bicycloalkyl, optionally substituted (C<sub>8</sub>-C<sub>18</sub>)tricycloalkyl, optionally substituted (C<sub>8</sub>-C<sub>18</sub>)heterobicycloalkyl and optionally substituted (C<sub>8</sub>-C<sub>18</sub>)heterotricycloalkyl;

9           R<sup>2</sup> is a member selected from the group consisting of optionally substituted (C<sub>1</sub>-  
10           C<sub>8</sub>)alkyl, optionally substituted aryl and optionally substituted heteroaryl;  
11           and

12           X is a member selected from the group consisting of -CO<sub>2</sub>R<sup>11</sup>, -CH<sub>2</sub>OR<sup>11</sup>,  
13           -C(O)R<sup>11</sup>, -C(O)NR<sup>11</sup>R<sup>12</sup> and -CH<sub>2</sub>NR<sup>11</sup>R<sup>12</sup>, wherein R<sup>11</sup> and R<sup>12</sup> are each members  
14           independently selected from the group consisting of hydrogen and optionally substituted  
15           (C<sub>1</sub>-C<sub>8</sub>)alkyl; said method comprising:

16           (a) attaching an aniline derivative to a solid support to provide a support-  
17           bound aniline derivative;

18           (b) contacting said support-bound aniline derivative with an aldehyde or  
19           ketone under reductively aminating conditions to provide a support-bound substituted  
20           aniline derivative; and

21           (c) contacting said support-bound substituted aniline derivative with an  
22           acylating agent to provide an LXR ligand on said solid support.